

L2 1 S E1  
 L3 1 S "1-CYCLOPROPYL-3-((1-(4-HYDROXYBUTYL)-1H-BENZO[D]IMIDAZOL-2-Y  
 FILE 'REGISTRY' ENTERED AT 10:08:41 ON 08 JUN 2010  
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 E BMS 433771/CN  
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 L4 1 S E3  
 E 543700-68-1/RN  
 L5 1 S E15  
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 L6 15 S L5  
 L7 7 S L6 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)  
 L8 1 S WO 2001095910/PN  
 FILE 'REGISTRY' ENTERED AT 10:28:18 ON 08 JUN 2010  
 L9 1 S 380605-61-8/RN  
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 FILE 'REGISTRY' ENTERED AT 10:28:38 ON 08 JUN 2010  
 L10 1 S 380604-60-4/RN  
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 L11 1 S 380602-42-6/RN  
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 FILE 'REGISTRY' ENTERED AT 10:30:42 ON 08 JUN 2010  
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 L13 2 S L12 SSS SAM  
 FILE 'REGISTRY' ENTERED AT 10:32:24 ON 08 JUN 2010  
 L14 STRUCTURE UPLOADED  
 L15 1 S L14 SSS SAM  
 L16 4 S L14 SSS FULL  
 FILE 'CAPLUS' ENTERED AT 10:33:13 ON 08 JUN 2010  
 L17 16 S L16  
 L18 8 S L17 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)  
 L18 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention is related to a pharmaceutical composition  
 comprising pharmaceutically acceptable carrier or diluent and: (a)

an inhibitor of the respiratory syncytial virus ( RSV) fusion protein of formula I [X = H, (un)substituted alkyl; Y = hetero/aryl, alkyl, alkoxy, etc.; Z = CH<sub>2</sub> and derivs.; R<sub>1</sub> = H, CONH<sub>2</sub> and derivs., CO<sub>2</sub>H and derivs., (un)substituted alkyl; R<sub>2</sub> = H, NH<sub>2</sub>, alkenyl, etc.; R<sub>3</sub> = H, alkenyl, CO<sub>2</sub>H, etc.; Q = 1,2-dihydrobenzotriazol-1-yl, 2,3-dihydroindazol-1-yl, etc.]; and (b) a benzodiazepine derivative of formula II [R<sub>1</sub> = alkyl, hetero/aryl; R<sub>2</sub> = H, alkyl; each R<sub>3</sub> = independently halo, OH, alkyl, alkoxy, NH<sub>2</sub>, CN, etc.; n = 0-3; R<sub>4</sub> = H, alkyl; X = CO, SO, SO<sub>2</sub>, CONH and derivs.; R<sub>5</sub> = (un)substituted hetero/aryl, heterocyclyl] capable of inhibiting RSV replication; the composition provides an additive and synergistic therapeutic effect in treating or preventing an RSV infection. The invention is also related to the preparation of benzodiazepines II. Thus, reacting (S)-3-Amino-5-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one with 2-chloro-4-(morpholin-4-yl)benzoic acid gave (S)-III. The fractional inhibitory concentration (FIC) for benzodiazepine III in combination with benzimidazole IV = 0.3, demonstrating a synergistic interaction.

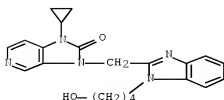
ACCESSION NUMBER: 2005:1042075 CAPLUS Full-text  
DOCUMENT NUMBER: 143:347207  
TITLE: Preparation of RSV replication-inhibiting benzodiazepine derivatives for use in pharmaceutical compositions in combination with RSV fusion protein inhibitors  
INVENTOR(S): Powell, Kenneth; Kelsey, Richard; Carter, Malcolm; Dowdell, Verity; Alber, Dagmar; Henderson, Elisa  
PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK  
SOURCE: PCT Int. Appl., 95 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089771	A1	20050929	WO 2005-GB1029	

20050318 <--  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 AU 2005224159 A1 20050929 AU 2005-224159  
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 AU 2005224159 B2 20090521  
 CA 2557931 A1 20050929 CA 2005-2557931  
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 EP 1727551 A1 20061206 EP 2005-728747  
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 CN 100496499 C 20090610  
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 JP 2007529491 T 20071025 JP 2007-503412  
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 AT 442145 T 20090915 AT 2005-728747  
 20050318 <--  
 PT 1727551 E 20091008 PT 2005-728747  
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 ES 2331479 T3 20100105 ES 2005-728747  
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 MX 2006010709 A 20061116 MX 2006-10709  
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 IN 2006CN03411 A 20070706 IN 2006-CN3411  
 20060919 <--  
 KR 2007009630 A 20070118 KR 2006-721651  
 20061018 <--  
 US 20070185096 A1 20070809 US 2007-593382  
 20070314 <--  
 PRIORITY APPLN. INFO.: GB 2004-6279 A  
 20040319 <--  
 WO 2005-GB1029 W  
 20050318  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 143:347207; MARPAT 143:347207  
 IT 543700-68-1, 1-Cyclopropyl-3-[[1-(4-hydroxybutyl)-1H-  
 benzimidazol-2-yl]methyl]-1,3-dihydroimidazo[4,5-c]pyridin-2-one  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (preparation of RSV replication-inhibiting benzodiazepine  
 derivs. for use in  
 pharmaceutical compns. in combination with RSV fusion protein  
 inhibitors)  
 RN 543700-68-1 CAPLUS  
 CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-

hydroxybutyl)-1H-benzimidazol-2-yl)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE  
FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L18 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN

AB A pharmaceutical composition which comprises a pharmaceutically acceptable carrier or diluent and: (a) an inhibitor of the RSV fusion protein; and (b) a benzodiazepine derivative capable of inhibiting RSV replication is highly active against RSV.

ACCESSION NUMBER: 2005:1042073 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:339599

TITLE: Pharmaceutical composition comprising a benzodiazepine

derivative and an inhibit or of the RSV fusion

protein

INVENTOR(S): Powell, Kenneth; Kelsey, Richard; Carter, Malcolm;

Alber, Dagmar; Wilson, Lara; Henderson, Elisa; Chambers, Phil; Taylor, Debra; Tyms, Stan;

Dowdell,

Verity

PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

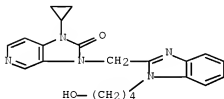
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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WO 2005089769	A1	20050929	WO 2005-GB1018	
20050318 <--				
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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
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 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
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 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
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 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL,  
 PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
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 AU 2005224157 A1 20050929 AU 2005-224157  
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 AU 2005224157 B2 20090528  
 CA 2558112 A1 20050929 CA 2005-2558112  
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 EP 1727550 B1 20090729  
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 HU, IE,  
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 IN 2006CN03430 A 20070706 IN 2006-CN3430  
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 US 20070142403 A1 20070621 US 2007-593666  
 20070312 <--  
 HK 1098372 A1 20100409 HK 2007-104918  
 20070508 <--  
 AU 2009212826 A1 20090917 AU 2009-212826  
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 PRIORITY APPLN. INFO.: GB 2004-6282 A  
 20040319 <--  
 AU 2005-224157 A3  
 20050318  
 WO 2005-GB1018 W  
 20050318  
 OTHER SOURCE(S): MARPAT 143:339599

IT 543700-68-1  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (antiviral benzodiazepine derivative as inhibitors of RSV  
 fusion protein)  
 RN 543700-68-1 CAPLUS  
 CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-  
 (4- hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)

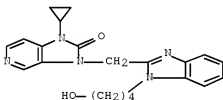


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE  
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 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE  
 FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE  
 RE FORMAT

L18 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN  
 AB Trimeric class I virus fusion proteins undergo a series of  
 conformational rearrangements that leads to the association of C-  
 and N-terminal heptad repeat domains in a "trimer-of-hairpins"  
 structure, facilitating the apposition of viral and cellular  
 membranes during fusion. This final fusion hairpin structure is  
 sustained by protein-protein interactions, assocns. thought  
 initially to be refractory to small-mol. inhibition because of the  
 large surface area involved. By using a photoaffinity analog of a  
 potent respiratory syncytial virus fusion inhibitor, we directly  
 probed the interaction of the inhibitor with its fusion protein  
 target. Studies have shown that these inhibitors bind within a  
 hydrophobic cavity formed on the surface of the N-terminal heptad-  
 repeat trimer. In the fusogenic state, this pocket is occupied by  
 key amino acid residues from the C-terminal heptad repeat that  
 stabilize the trimer-of-hairpins structure. The results indicate  
 that a low-mol.-weight fusion inhibitor can interfere with the  
 formation or consolidation of key structures within the hairpin  
 moiety that are essential for membrane fusion. Because analogous  
 cavities are present in many class I viruses, including HIV, these  
 results demonstrate the feasibility of this approach as a strategy  
 for drug discovery.

ACCESSION NUMBER: 2004:940278 CAPLUS Full-text  
 DOCUMENT NUMBER: 141:360245  
 TITLE: Targeting a binding pocket within the  
 trimer-of-hairpins: Small-molecule inhibition  
 of viral

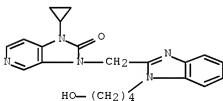
fusion  
 AUTHOR(S): Cianci, Christopher; Langley, David R.;  
 Dischino,  
 Stanley, Anne;  
 Douglas D.; Sun, Yaxiong; Yu, Kuo-Long;  
 Roach, Julia; Li, Zhufang; Dalterio, Richard;  
 Colonno,  
 Richard; Meanwell, Nicholas A.; Krystal, Mark  
 CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research  
 Institute, Wallingford, CT, 06492, USA  
 SOURCE: Proceedings of the National Academy of  
 Sciences of the  
 United States of America (2004), 101(42),  
 15046-15051  
 CODEN: PNASA6; ISSN: 0027-8424  
 PUBLISHER: National Academy of Sciences  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 543700-68-1, BMS-433771  
 RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (targeting a binding pocket within the trimer-of-hairpins  
 small-mol.  
 inhibition of viral fusion)  
 RN 543700-68-1 CAPLUS  
 CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-  
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 hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 46 THERE ARE 46 CAPLUS RECORDS THAT CITE  
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 REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE  
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 RECORD. ALL CITATIONS AVAILABLE IN THE  
 RE FORMAT

L18 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN  
 AB An improved process has been developed for compound 1, a  
 respiratory syncytial virus (RSV) inhibitor. This improved  
 process is convergent, safe, efficient, and useful to prepare  
 compound 1 in kilogram quantities.  
 ACCESSION NUMBER: 2004:795432 CAPLUS Full-text  
 DOCUMENT NUMBER: 142:8235  
 TITLE: Development of an Efficient and Scalable  
 Process of a

AUTHOR(S): Respiratory Syncytial Virus Inhibitor  
 Provencal, David P.; Gesenberg, Kirsten D.;  
 Wang, Hua; Escobar, Carlos; Wong, Henry; Brown, Matthew  
 A.; Staab, Andrew J.; Pendri, Yadagiri R.  
 CORPORATE SOURCE: Process Research and Development, Bristol-  
 Myers Squibb Pharmaceutical Research Institute,  
 Wallingford, CT, 06492, USA  
 SOURCE: Organic Process Research & Development (2004  
 ), 8(6), 903-908  
 CODEN: OPRDFK; ISSN: 1083-6160  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 142:8235  
 IT 543700-68-1P  
 RL: BSU (Biological study, unclassified); SPN (Synthetic  
 preparation);  
 BIOL (Biological study); PREP (Preparation)  
 (development of efficient and scalable process of respiratory  
 syncytial virus inhibitor)  
 RN 543700-68-1 CAPLUS  
 CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-  
 (4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



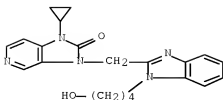
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 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE  
 FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
 RE FORMAT

L18 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN  
 AB BMS-433771 is a potent inhibitor of respiratory syncytial virus  
 (RSV) replication in vitro. Mechanism of action studies have  
 demonstrated that BMS-433771 halts virus entry through inhibition  
 of F protein-mediated membrane fusion. BMS-433771 also exhibited  
 in vivo efficacy following oral administration in a mouse model of  
 RSV infection. In this report, the in vivo efficacy of BMS-433771



against RSV was further examined in the BALB/c mouse and cotton rat host models of infection. By using the Long strain of RSV, prophylactic efficacy via oral dosing was observed in both animal models. A single oral dose, administered 1 h prior to intranasal RSV inoculation, was as effective against infection as a 4-day b.i.d. dosing regimen in which the first oral dose was given 1 h prior to virus inoculation. Results of dose titration expts. suggested that RSV infection was more sensitive to inhibition by BMS-433771 treatment in the BALB/c mouse host than in the cotton rat. This was reflected by the pharmacokinetic and pharmacodynamic anal. of the efficacy data, where the area under the concentration-time curve required to achieve 50% of the maximum response was .apprx.7.5-fold less for mice than for cotton rats. Inhibition of RSV by BMS-433771 in the mouse is the result of FI-mediated inhibition, as shown by the fact that a virus selected for resistance to BMS-433771 in vitro and containing a single amino acid change in the FI region was also refractory to treatment in the mouse host. BMS-433771 efficacy against RSV infection was also demonstrated for mice that were chemical immunosuppressed by cyclophosphamide treatment, indicating that compound inhibition of the virus did not require an active host immune response.

ACCESSION NUMBER: 2004;551548 CAPLUS Full-text  
DOCUMENT NUMBER: 141:99121  
TITLE: Oral efficacy of a respiratory syncytial virus inhibitor in rodent models of infection  
AUTHOR(S): Cianci, Christopher; Genovesi, Eugene V.;  
Lamb, Lucinda; Medina, Ivette; Yang, Zheng; Zadjura, Lisa;  
Yang, Hyekyung; D'Arienzo, Celia; Sin, Ny; Yu, Kuo-Long; Combrink, Keith; Li, Zhufang;  
Colonno, Richard; Meanwell, Nicholas; Clark, Junius;  
Krystal, Mark  
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA  
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(7), 2448-2454  
CODEN: AMACQ; ISSN: 0066-4804  
PUBLISHER: American Society for Microbiology  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
IT 543700-68-1, BMS-433771  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(oral efficacy of respiratory syncytial virus inhibitor in rodent models of infection)  
RN 543700-68-1 CAPLUS  
CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



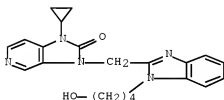
OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN  
 AB BMS-433771 was a potent inhibitor of respiratory syncytial virus (RSV) replication in vitro. It exhibited excellent potency against multiple laboratory and clin. isolates of both group A and B viruses, with an average 50% effective concentration of 20 nM. Mechanism-of-action studies demonstrated that BMS-433771 inhibits the fusion of lipid membranes during both the early virus entry stage and late-stage syncytium formation. After isolation of resistant viruses, resistance was mapped to a series of single amino acid mutations in the F1 subunit of the fusion protein. Upon oral administration, BMS-433771 was able to reduce viral titers in the lungs of mice infected with RSV. This new class of orally active RSV fusion inhibitors offers potential for clin. development.

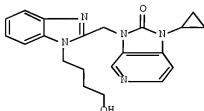
ACCESSION NUMBER: 2004:115618 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 141:466  
 TITLE: Orally active fusion inhibitor of respiratory syncytial virus  
 AUTHOR(S): Cianci, Christopher; Yu, Kuo-Long; Combrink, Keith;  
 Sin, Ny; Pearce, Bradley; Wang, Alan;  
 Civiello, Rita;  
 Voss, Stacey; Luo, Guangxiang; Kadow, Kathy;  
 Genovesi,  
 Eugene V.; Venables, Brian; Gulgeze, Hatice;  
 Trehan,  
 Ashok; James, Jennifer; Lamb, Lucinda; Medina,  
 Ivette;  
 Roach, Julia; Yang, Zheng; Zadajura, Lisa;  
 Colonno,  
 Richard; Clark, Junius; Meanwell, Nicholas;  
 Krystal,  
 Mark  
 CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA  
 SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(2), 413-422

CODEN: AMACCQ; ISSN: 0066-4804  
 PUBLISHER: American Society for Microbiology  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 543700-68-1, BMS 433771  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (orally active fusion inhibitor of respiratory syncytial virus)  
 RN 543700-68-1 CAPLUS  
 CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 51 THERE ARE 51 CAPLUS RECORDS THAT CITE THIS  
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 REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE  
 RE FORMAT

L18 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN  
 GI



I

AB Pharmaceutical dosage forms containing a novel crystalline bishydrochloride monohydrate salt of an imidazopyridine derivative (I) are useful in the treatment of respiratory syncytial viral infection. Thus, the imidazopyridine derivative was treated with concentrate HCl solution in isopropanol and water to give I.

Capsules contained 10 and 50 mg-free base equivalent of the  
bishydrochloride monohydrate salt .

ACCESSION NUMBER: 2003:472345 CAPLUS Full-text  
DOCUMENT NUMBER: 139:41819  
TITLE: Bishydrochloride monohydrate salt of an  
imidazopyridine derivative as RSV fusion  
inhibitor  
INVENTOR(S): Gesenberg, Christoph; Provencal, David Paul;  
Venkatesh, Srinivasan; Wang, Hua  
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
SOURCE: PCT Int. Appl., 16 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049688	A2	20030619	WO 2002-US38956	
20021205 <--				
WO 2003049688	A3	20031106		
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US 6737428	B2	20040518		
AU 2002351255	A1	20030623	AU 2002-351255	
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

IT 543700-67-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation);

USES

(Uses)

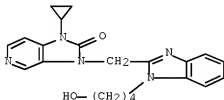
(bishydrochloride monohydrate salt of imidazopyridine  
derivative as RSV

fusion inhibitor)

RN 543700-67-0 CAPLUS

CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]-, hydrochloride,  
hydrate

(1:2:1) (CA INDEX NAME)



● 2 HCl

● H2O

IT 543700-68-1

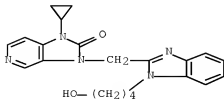
RL: RCT (Reactant); RACT (Reactant or reagent)

(bishydrochloride monohydrate salt of imidazopyridine  
derivative as RSV

fusion inhibitor)

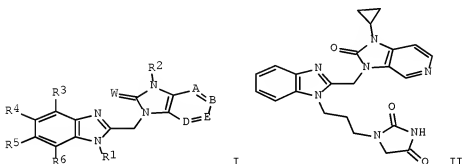
RN 543700-68-1 CAPLUS

CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-hydroxybutyl)-1H-benzimidazol-2-yl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN  
GI



AB The title compds. [I; W = O, S; R1 = (CR'R'')nX; X = H, alkyl, cycloalkyl, etc.; n = 2-6; R2 = H, alkyl, cycloalkyl, etc.; R3-R6 = H, halo, alkyl, etc.; A, B, E, D = CH, CQ, N, NO; provided at least one of A, B, E or D is not CH or CQ; Q = halo, alkyl, alkyl substituted with 1-3 halogen atoms; R', R'' = H, alkyl, cycloalkyl, etc.], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared Thus, reacting I [W = O; R1 = (CH2)3NH2; R2 = cyclopropyl; R3-R6 = H; E = N; A, B, D = CH] (preparation given) with N-chloroacetylurethane in the presence of Na2CO3 in MeCN afforded 39% II.TFA. The compds. I showed antiviral activity against RSV with EC50's between 50  $\mu$ M and 0.001  $\mu$ M vs. Ribavirin with an EC50 of 3  $\mu$ M.

ACCESSION NUMBER: 2001:923615 CAPLUS Full-text  
DOCUMENT NUMBER: 136:37623  
TITLE: Preparation of imidazopyridine and imidazopyrimidine

INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.;  
Xiangdong;  
Gulgeze, Hatice Belgin; Sin, Ny; Wang,

PATENT ASSIGNEE(S): Meanwell, Nicholas A.; Venables, Brian Lee  
Bristol-Myers Squibb Company, USA  
SOURCE: PCT Int. Appl., 196 pp.

DOCUMENT TYPE:  
 LANGUAGE:  
 FAMILY ACC. NUM. COUNT:  
 PATENT INFORMATION:

CODEN: PIXXD2  
 Patent  
 English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001095910	A1	20011220	WO 2001-US14775	
20010508 <--				
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US 2001-263363P P

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WO 2001-US14775 W

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:37623

IT 380603-12-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

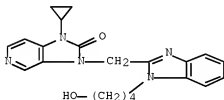
(preparation of imidazopyridine and imidazopyrimidine antiviral agents)

RN 380603-12-3 CAPLUS

CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-

hydroxybutyl)-1H-benzimidazol-2-yl)methyl]-, hydrochloride (4:5)

(CA INDEX NAME)



● 5/4 HCl

IT 380603-68-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

USES (Uses)

(preparation of imidazopyridine and imidazopyrimidine antiviral agents)

RN 380603-68-9 CAPLUS

CN 2H-Imidazo[4,5-c]pyridin-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-(4-

hydroxybutyl)-4-methyl-1H-benzimidazol-2-yl)methyl]- (CA INDEX NAME)

